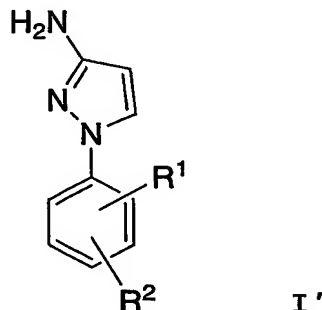


CLAIMS

1. A process for preparing a compound of the formula I', or a salt, hydrate or polymorph thereof,



wherein

R¹ and R² are both independently selected from the group consisting of

- (1) hydrogen,
- (2) halogen,
- (3) nitro,
- (4) lower alkyl,
- (5) halo(lower)alkyl,
- (6) hydroxy(lower)alkyl,
- (7) cyclo(lower)alkyl,
- (8) lower alkenyl,
- (9) lower alkoxy,
- (10) halo(lower)alkoxy,
- (11) lower alkylthio,
- (12) carboxyl,
- (13) lower alkanoyl,
- (14) lower alkoxycarbonyl,

(15) lower alkylene optionally substituted with oxo,
and

(16) -Q-Ar², wherein Q is selected from the group
consisting of a single bond and a carbonyl, and

5

wherein Ar² is selected from the group consisting of

(1) aryl, and

(2) heteroaryl,

wherein Ar² is unsubstituted or substituted with a
substituent selected from the group consisting of

10

(a) halogen,

(b) cyano,

(c) lower alkyl,

(d) halo(lower)alkyl,

15

(e) hydroxy(lower)alkyl,

(f) hydroxy,

(g) lower alkoxy,

(h) halo(lower)alkoxy,

(i) lower alkylamino,

20

(j) di-lower alkylamino,

(k) lower alkanoyl, and

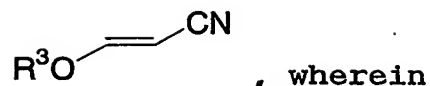
(l) aryl;

comprising the steps of:

25

(a) forming a hydrazine solution;

(b) adding a compound of formula V



V

R^3 is selected from the group consisting of

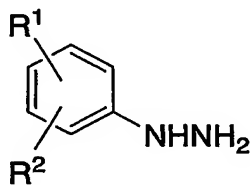
- (1) lower alkyl,
- (2) aryl, and
- (3) $-\text{CH}_2\text{aryl}$,

to the hydrazine solution of step (a) to form a mixture; and

- (c) heating the mixture of step (b) to a temperature between about 50°C to about 100°C ;

to afford the compound I', or a salt, hydrate or polymorph thereof.

2. The process of Claim 1 wherein the hydrazine solution of step (a) is formed by dissolving a compound of formula III'



III'

in a solvent.

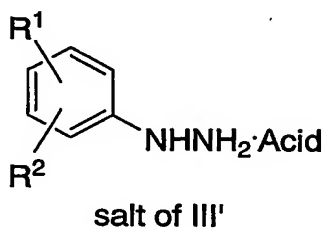
3. The process of Claim 2, wherein the solvent is selected from the group consisting of

- (a) C_{1-4} alcohol;
- (b) toluene;
- (c) tetrahydrofuran; and

(d) dimethylformamide;
or a mixture thereof.

4. The process of Claim 3 wherein the solvent is ethanol.

5. The process of Claim 1 wherein the hydrazine solution of step (a) is formed by treating a salt of a compound of formula III'



with a base in a solvent.

6. The process of Claim 5 wherein the solvent is selected from the group consisting of

- (a) C₁₋₄ alcohol;
- (b) toluene;
- (c) tetrahydrofuran; and
- (d) dimethylformamide;

or a mixture thereof.

7. The process of Claim 6 wherein the solvent is ethanol.

8. The process of Claim 5 wherein the salt of the compound of formula III' is selected from the group consisting of acetic acid salt, oxalic acid salt, hydrochloride salt, hydrobromide salt, dihydrobromide salt, mesylate salt, tosylate salt, besylate salt and sulfate salt.

9. The process of Claim 8 wherein the salt of the compound of formula III' is a hydrochloride salt.

5 10. The process of Claim 5 wherein the base is selected from the group consisting of

- (a) sodium ethoxide;
- (b) sodium methoxide;
- (c) lower alkylamine;
- 10 (d) 1,8-diazabicyclo[5.4.0]undec-7-ene;
- (e) potassium *t*-butoxide; and
- (f) sodium hydroxide.

11. The process of Claim 10 wherein the base is sodium ethoxide.

15

12. The process of Claim 1 wherein R^1 and R^2 are both independently selected from the group consisting of

- (1) hydrogen,
- (2) halogen,
- 20 (3) lower alkyl,
- (4) halo(lower)alkyl,
- (5) lower alkenyl,
- (6) lower alkanoyl,
- (7) lower alkylene, optionally substituted with oxo,
- 25 and

(8) -Q-Ar², wherein Q is selected from the group consisting of a single bond and a carbonyl, and wherein Ar² is selected from the group consisting of (1) aryl, and

(2) heteroaryl,

wherein Ar² is unsubstituted or substituted with a substituent selected from the group consisting of

- (a) halogen,
- 5 (b) cyano,
- (c) lower alkyl,
- (d) halo(lower)alkyl,
- (e) hydroxy(lower)alkyl,
- (f) hydroxy,
- 10 (g) lower alkoxy,
- (h) halo(lower)alkoxy,
- (i) lower alkylamino,
- (j) di-lower alkylamino,
- (k) lower alkanoyl, and
- 15 (l) aryl.

13. The process of Claim 12 wherein R¹ is hydrogen and R² is selected from the group consisting of

- (1) hydrogen,
- 20 (2) 2-fluoro,
- (3) 3-fluoro,
- (4) 4-fluoro,
- (5) 5-fluoro,
- (6) 2-chloro,
- 25 (7) 3-chloro,
- (8) 4-chloro,
- (9) 2-difluoromethoxy,
- (10) 3-difluoromethoxy,
- (11) 2-methyl,

- (12) 2-pyridyl,
- (13) 2-quinolyl, and
- (14) 3-quinolyl.

5 14. The process of Claim 13 wherein R¹ is hydrogen and R² is selected from the group consisting of

- (1) hydrogen,
- (2) 2-fluoro,
- (3) 3-fluoro, and
- 10 (4) 4-fluoro.

15. The process of Claim 14 wherein both R¹ and R² are hydrogen.

16. The process of Claim 14 wherein R¹ is hydrogen and R² is
15 2-fluoro.

17. The process of Claim 14 wherein R¹ is hydrogen and R² is 4-fluoro.

20 18. The process of Claim 1 wherein R³ is selected from the group consisting of lower alkyl.

19. The process of Claim 18 wherein R³ is selected from the group consisting of: -CH₃, -CH₂CH₃, -(CH₂)₂CH₃, -CH(CH₃)₂, -(CH₂)₃CH₃,
25 and -C(CH₃)₃.

20. The process of Claim 19 wherein R³ is -CH₂CH₃.

21. The process of Claim 1 further comprising the step (d) of isolating the compound I'.

22. The process of Claim 1 further comprising the step (e) of
5 treating compound I' with an acid to form a salt.

23. The process of Claim 22 wherein the acid of step (e) is selected from the group consisting of acetic acid, oxalic acid, hydrobromic acid, hydrochloric acid, anhydrous *p*-toluenesulfonic acid, *p*-toluenesulfonic acid hydrate, *p*-toluenesulfonic acid monohydrate, benzenesulfonic acid, and
10 methanesulfonic acid, or a mixture thereof.

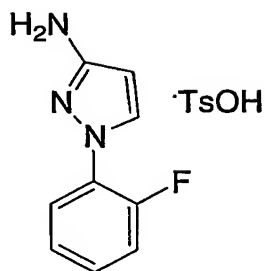
24. The process of Claim 23 wherein the acid of step (e) is
15 selected from the group consisting of acetic acid, oxalic acid, hydrochloric acid, anhydrous *p*-toluenesulfonic acid, *p*-toluenesulfonic acid hydrate, benzenesulfonic acid, and *p*-toluenesulfonic acid monohydrate, or a mixture thereof.

20 25. The process of Claim 24 wherein the acid of step (e) is *p*-toluenesulfonic acid monohydrate.

26. The process of Claim 24 wherein the acid of step (e) is hydrochloric acid.

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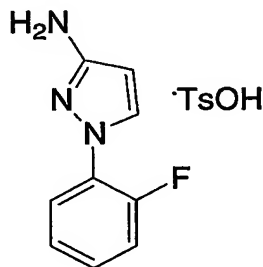
27. A compound of formula 1-4



1-4

or a hydrate or polymorph thereof.

- 5 28. A compound which is a crystalline form of the tosylate salt of compound 1-4



1-4

- 10 29. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing an angle 2 theta value of 14.2 - 14.3°.

- 15 30. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing an angle 2 theta value of 14.24°.

31. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing the following angle 2 theta values: 14.2 - 14.3° and 21.6 - 21.7°.

32. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing the following angle 2 theta values: 14.2 - 14.3°, 20.0 - 20.1°, and 21.6 - 21.7°.

5

33. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing an angle 2 theta value of 8.6 - 8.7°.

10 34. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing an angle 2 theta value of 8.68°.

15 35. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing the following angle 2 theta values:

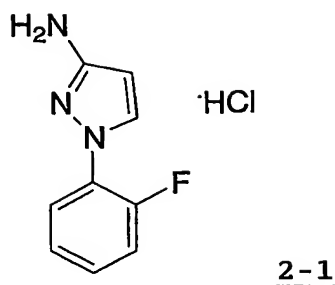
8.6 - 8.7° and 11.9 - 12.0°.

20 36. The compound of Claim 28 having an x-ray powder diffraction pattern obtained using Cu radiation containing the following angle 2 theta values:

8.6 - 8.7°, 11.9 - 12.0°, and 20.5 - 20.6 °.

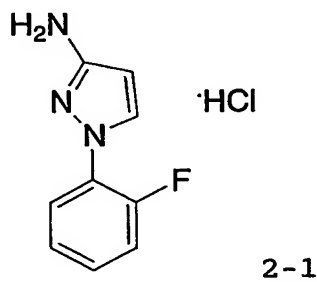
37. A compound of formula 2-1

79



or a hydrate or polymorph thereof.

38. A compound which is a crystalline form of the hydrochloride
5 salt of compound 2-1



39. The compound of Claim 38 having an x-ray powder diffraction
pattern obtained using Cu radiation containing the following
10 angle 2 theta value:

19.9 - 20.0°.

40. The compound of Claim 38 having an x-ray powder diffraction
pattern obtained using Cu radiation containing the following
15 angle 2 theta value:

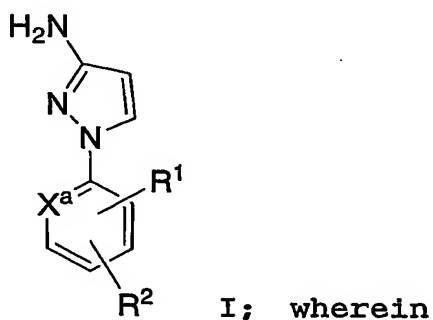
19.94°.

41. The compound of Claim 38 having an x-ray powder diffraction

pattern obtained using Cu radiation containing the following angle 2 theta values:

10.9 - 11.0°, 19.9 - 20.0°, and 24.6 - 24.7°.

- 5 42. A process for preparing a compound of the formula I, or a salt, hydrate or polymorph thereof,



X^a is CH, CR¹, CR² or nitrogen;

10 R¹ and R² are both independently selected from the group consisting of

- (1) hydrogen,
- (2) halogen,
- (3) nitro,
- (4) lower alkyl,
- 15 (5) halo(lower)alkyl,
- (6) hydroxy(lower)alkyl,
- (7) cyclo(lower)alkyl,
- (8) lower alkenyl,
- (9) lower alkoxy,
- 20 (10) halo(lower)alkoxy,
- (11) lower alkylthio,
- (12) carboxyl,
- (13) lower alkanoyl,

(14) lower alkoxycarbonyl,

(15) lower alkylene optionally substituted with oxo,
and

(16) -Q-Ar², wherein Q is selected from the group
consisting of a single bond and a carbonyl, and

wherein Ar² is selected from the group consisting of

(1) aryl, and

(2) heteroaryl,

wherein Ar² is unsubstituted or substituted with a
substituent selected from the group consisting of

(a) halogen,

(b) cyano,

(c) lower alkyl,

(d) halo(lower)alkyl,

(e) hydroxy(lower)alkyl,

(f) hydroxy,

(g) lower alkoxy,

(h) halo(lower)alkoxy,

(i) lower alkylamino,

(j) di-lower alkylamino,

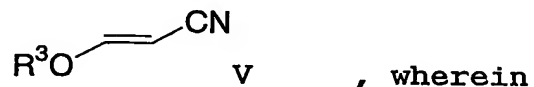
(k) lower alkanoyl, and

(l) aryl;

comprising the steps of:

(a) forming a hydrazine solution;

(b) adding a compound of formula V



R³ is selected from the group consisting of

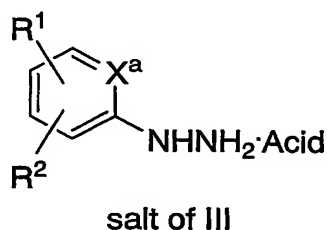
- (1) lower alkyl,
- (2) aryl, and
- (3) -CH₂aryl,

5 to the hydrazine solution of step (a) to form a mixture; and

(c) heating the mixture of step (b) to a temperature between about 50°C to about 100°C;

10 to afford the compound I, or a salt, hydrate or polymorph thereof.

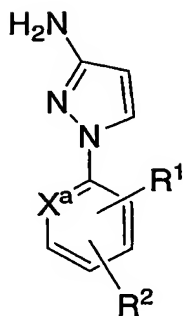
43. The process of Claim 42 wherein the hydrazine solution of step (a) is formed by treating a compound of salt of formula III



15 with a base in a solvent.

44. The process of Claim 43 wherein the base is potassium *tert*-butoxide, and the solvent is *tert*-butanol.

20 45. The process of Claim 42 further comprising the step (e) of treating the compound of formula I



I

with an acid to form a salt.